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LOGINID:SSPTANSC1625

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUL 28	CA/CAplus patent coverage enhanced
NEWS 3	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5	JUL 28	STN Viewer performance improved
NEWS 6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 9	AUG 15	CAplus currency for Korean patents enhanced
NEWS 10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 12	SEP 25	CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14	SEP 29	IFICLS enhanced with new super search field
NEWS 15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS 16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS 18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS 19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS 20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:28:01 ON 17 NOV 2008

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND
command can only be used to look at the index in a file which has an
index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:28:31 ON 17 NOV 2008
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 16 NOV 2008 HIGHEST RN 1072892-84-2
DICTIONARY FILE UPDATES: 16 NOV 2008 HIGHEST RN 1072892-84-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
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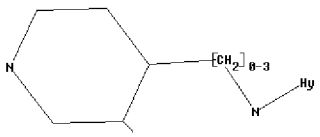
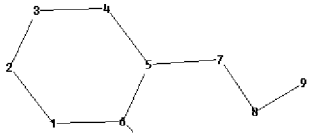
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\piperidines-N-aryl.str





chain nodes :
7 8 9 12
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 6-12 7-8 8-9
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 6-12 8-9
exact bonds :
5-7 7-8

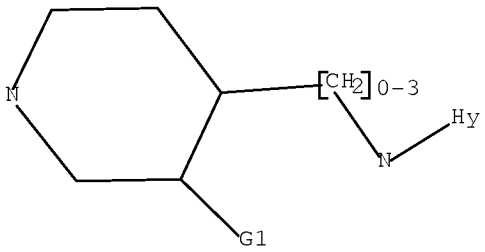
G1:H,F

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 12:CLASS

Generic attributes :
9:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



G1 H,F

Structure attributes must be viewed using STN Express query preparation.

=> S SSS SAM L1
SAMPLE SEARCH INITIATED 15:28:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 112985 TO ITERATE

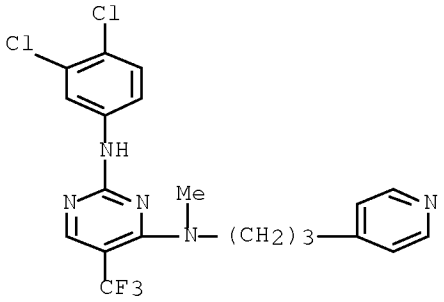
1.8% PROCESSED 2000 ITERATIONS 35 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2239759 TO 2279641
PROJECTED ANSWERS: 36877 TO 42211

L2 35 SEA SSS SAM L1

=> D SCAN

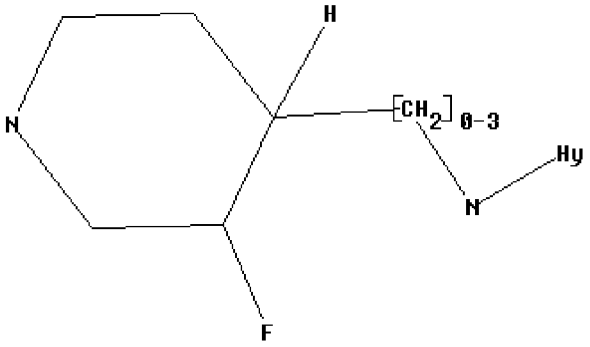
L2 35 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 2,4-Pyrimidinediamine, N2-(3,4-dichlorophenyl)-N4-methyl-N4-[3-(4-pyridinyl)propyl]-5-(trifluoromethyl)-
MF C20 H18 Cl2 F3 N5

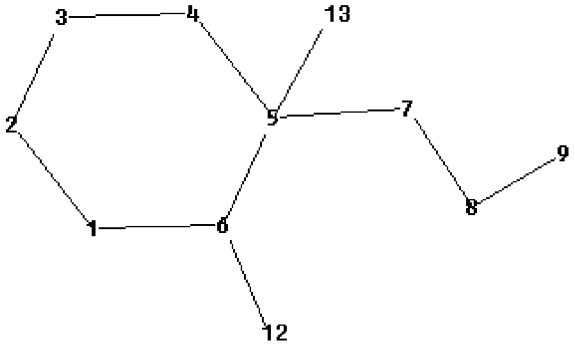


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>
Uploading C:\Program Files\STNEXP\Queries\10559153A.str



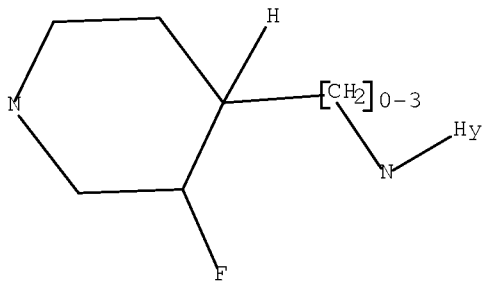


chain nodes :
7 8 9 12 13
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 5-13 6-12 7-8 8-9
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9
exact bonds :
5-7 5-13 6-12 7-8

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 12:CLASS 13:CLASS
Generic attributes :
9:
Saturation : Unsaturated

L3 STRUCTURE UPLOADED

=> D L3
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> S SSS SAM L3
SAMPLE SEARCH INITIATED 15:32:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1274 TO ITERATE

100.0% PROCESSED 1274 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

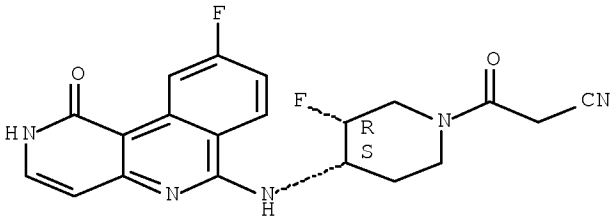
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 23339 TO 27621
PROJECTED ANSWERS: 2 TO 124

L4 2 SEA SSS SAM L3

=> D SCAN

L4 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 1-Piperidinepropanenitrile, 3-fluoro-4-[(9-fluoro-1,2-dihydro-1-oxobenzo[c][1,6]naphthyridin-6-yl)amino]-β-oxo-, (3R,4S)-
MF C20 H17 F2 N5 O2

Absolute stereochemistry.

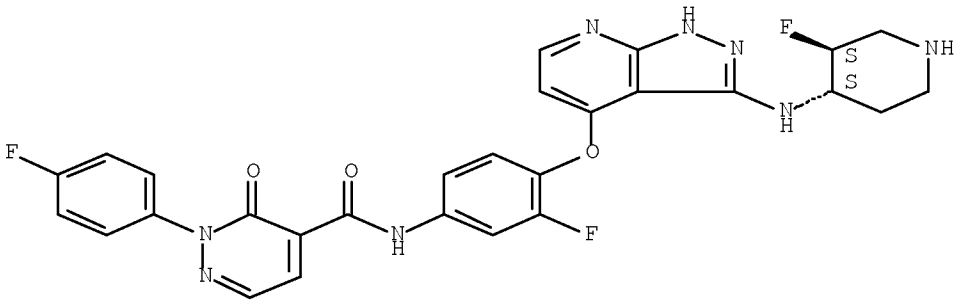


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L4 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN 4-Pyridazinecarboxamide, N-[3-fluoro-4-[[3-[[(3R,4R)-3-fluoro-4-piperidinyl]amino]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]phenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, rel-
MF C28 H23 F3 N8 O3
CI COM

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> S SSS FULL L3
FULL SEARCH INITIATED 15:32:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 25369 TO ITERATE

100.0% PROCESSED 25369 ITERATIONS 104 ANSWERS
SEARCH TIME: 00.00.01

L5 104 SEA SSS FUL L3

=> FIL SAVE L5 TEMP NIGE10559153/A
'SAVE' IS NOT A VALID FILE NAME
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available. If you have requested multiple files, you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.
ENTER A FILE NAME OR (IGNORE):END

=> SAVE L5 TEMP NIGE10559153/A
ANSWER SET L5 HAS BEEN SAVED AS 'NIGE10559153/A'

=> FIL CAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	182.04	182.25

FILE 'CAPLUS' ENTERED AT 15:33:52 ON 17 NOV 2008
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FILE COVERS 1907 - 17 Nov 2008 VOL 149 ISS 21
FILE LAST UPDATED: 16 Nov 2008 (20081116/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> S L5
L6 7 L5

=> D IBIB ABS HITSTR L6 1-7

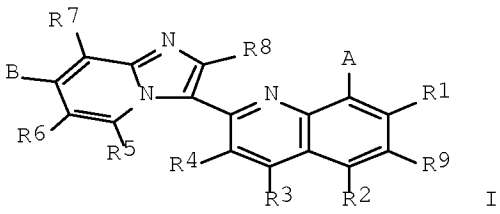
L6 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1248220 CAPLUS Full-text
DOCUMENT NUMBER: 149:471456
TITLE: Preparation of imidazo[1,2-a]pyridine compounds as receptor tyrosine kinase inhibitors
INVENTOR(S): Allen, Shelley; Greschuk, Julie Marie; Kallan, Nicholas C.; Marmsaeter, Fredrik P.; Munson, Mark C.; Rizzi, James P.; Robinson, John E.; Schlachter, Stephen T.; Topalov, George T.; Zhao, Qian; Lyssikatos, Joseph P.
PATENT ASSIGNEE(S): Array Biopharma Inc., USA
SOURCE: PCT Int. Appl., 89pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008124323	A1	20081016	WO 2008-US58395	20080327

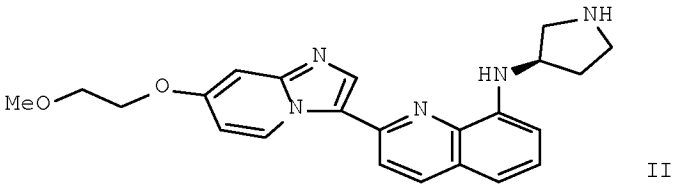
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-909857P P 20070403
GI



I



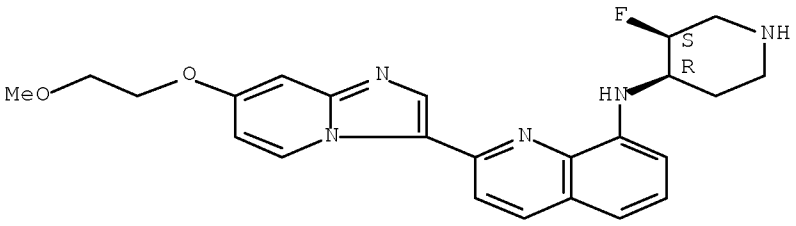
II

AB The title compds. with general formula I [wherein A = (un)substituted N- or O-linked heterocyclic ring; B = H, CN, OH, (un)substituted (hetero)aryl, etc.; R1-R4 = independently H, F, Cl, CN, etc.; R9 = H, F, Cl, or Me; R5-R8 = independently H, F, Cl, CN, or Me] or pharmaceutically acceptable salts thereof were prepared as tyrosine kinase receptor inhibitors useful in the treatment of diseases mediated by class 3 or class 5 tyrosine kinases receptors. Particularly, compds. of this invention have been found to be inhibitors of Pim-1. For example, compound II was prepared in a multi-step synthesis. All the invention compds. were evaluated for their tyrosine kinase receptor inhibitory activity. From the assay, it was determined that II and all other tested compds. exhibited the IC50 values of < 10 μM against cellular PDGFR.

IT 1070896-26-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazo[1,2-a]pyridine compds. as receptor tyrosine kinase inhibitors)

RN 1070896-26-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

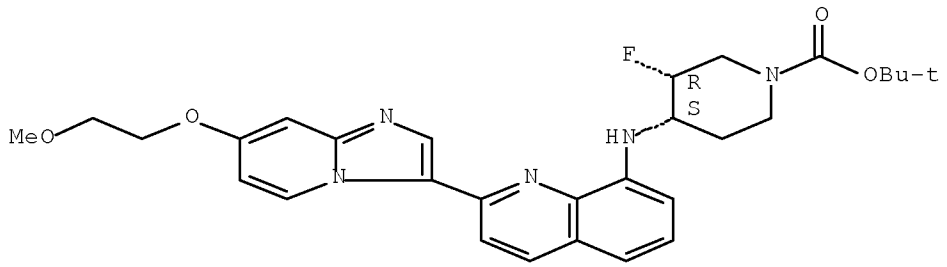
Relative stereochemistry.



IT 1070896-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazo[1,2-a]pyridine compds. as receptor tyrosine kinase

inhibitors)
RN 1070896-86-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



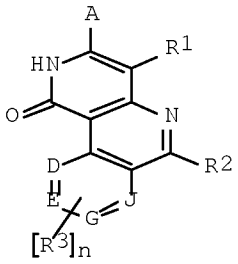
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1122672 CAPLUS Full-text
DOCUMENT NUMBER: 149:378706
TITLE: Preparation of benzonaphthyridinones as inhibitors of janus kinases and/or 3-phosphoinositide-dependent protein kinase-1
INVENTOR(S): Kozina, Ekaterina; Dinsmore, Christopher; Siu, Tony; Young, Jonathan; Northrup, Alan; Altman, Michael; Keenan, Kevin A.; Guerin, David J.; Jung, Joon O.; Maccoss, Rachel N.; Kattar, Solomon
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 248pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

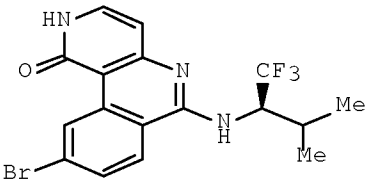
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008112217	A1	20080918	WO 2008-US3206	20080310

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-906753P P 20070313
OTHER SOURCE(S): MARPAT 149:378706
GI



I



II

AB The title compds. I [D, E, G, J = CH, N or NO; R1 = H, alkyl, cycloalkyl, etc.; R2 = NR5R6, SR5, OR5, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl; R5 = H, alkyl, cycloalkyl; A = H, alkyl, cycloalkyl, etc.; n = 0-3] that inhibit JAK2 tyrosine kinase and/or PDK1, were prepared and formulated. E.g., a multi-step synthesis of(1R)-II, starting from 4-bromobenzoyl chloride and diisopropylamine, was given. Compds. I are potent inhibitors of recombinant purified JAK2 kinase activity with an IC50 of approx. 0.1 nM - 20 μM. The invention also provides for compns. comprising compds. I and methods of inhibiting JAK2 tyrosine kinase activity and/or PDK1 kinase inhibitory activity by administering the compound I to a patient in need of treatment or prevention of myeloproliferative disorders or cancer.

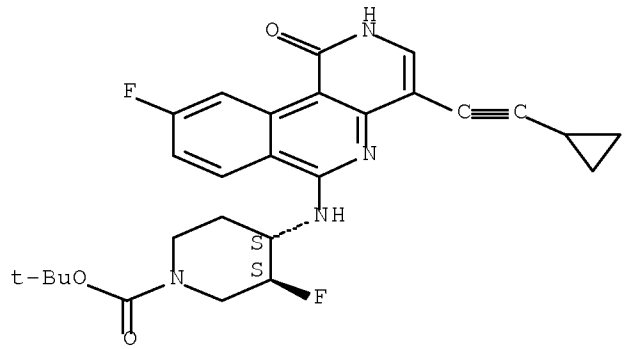
IT 1058128-21-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzonaphthyridinones as inhibitors of janus kinases and/or 3-phosphoinositide-dependent protein kinase-1)

RN 1058128-21-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(2-cyclopropylethynyl)-9-fluoro-1,2-dihydro-1-oxobenzo[c][1,6]naphthyridin-6-yl]amino]-3-fluoro-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

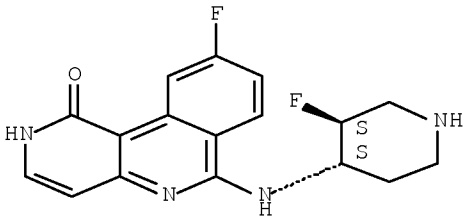


IT 1058125-85-1P 1058126-35-4P 1058126-36-5P

1058126-37-6P 1058127-16-4P 1058128-22-5P
1058128-23-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzonaphthyridinones as inhibitors of janus kinases and/or 3-phosphoinositide-dependent protein kinase-1)

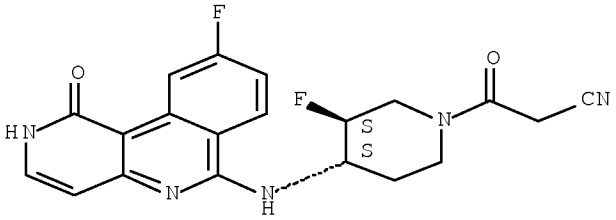
RN 1058125-85-1 CAPLUS
CN Benzo[c][1,6]naphthyridin-1(2H)-one, 9-fluoro-6-[[(3R,4R)-3-fluoro-4-piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



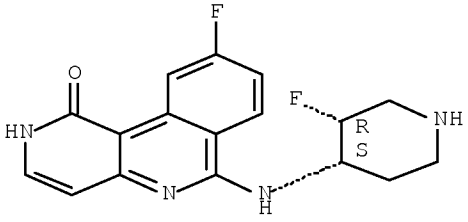
RN 1058126-35-4 CAPLUS
CN 1-Piperidinepropanenitrile, 3-fluoro-4-[(9-fluoro-1,2-dihydro-1-oxobenzo[c][1,6]naphthyridin-6-yl)amino]-β-oxo-, (3S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



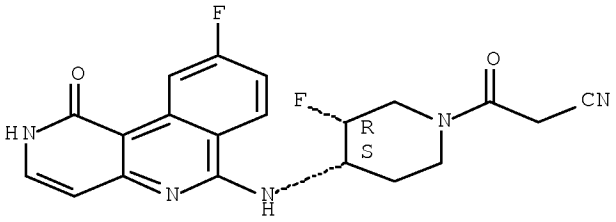
RN 1058126-36-5 CAPLUS
CN Benzo[c][1,6]naphthyridin-1(2H)-one, 9-fluoro-6-[[(3R,4S)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



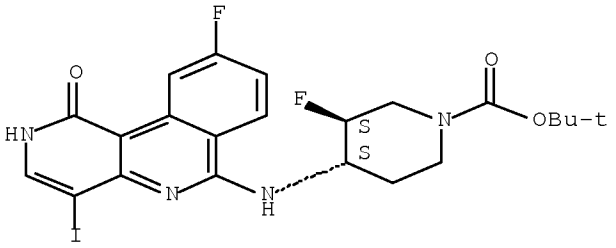
RN 1058126-37-6 CAPLUS
CN 1-Piperidinepropanenitrile, 3-fluoro-4-[(9-fluoro-1,2-dihydro-1-oxobenzo[c][1,6]naphthyridin-6-yl)amino]-β-oxo-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



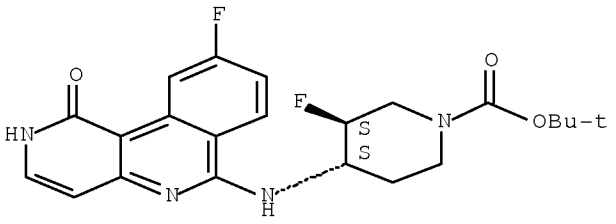
RN 1058127-16-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(9-fluoro-1,2-dihydro-4-iodo-1-oxobenzo[c][1,6]naphthyridin-6-yl)amino]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1058128-22-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(9-fluoro-1,2-dihydro-1-oxobenzo[c][1,6]naphthyridin-6-yl)amino]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

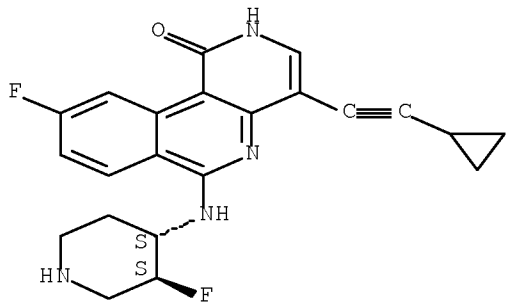
Relative stereochemistry.



RN 1058128-23-6 CAPLUS
CN Benzo[c][1,6]naphthyridin-1(2H)-one,

4-(2-cyclopropylethynyl)-9-fluoro-6-[[(3R,4R)-3-fluoro-4-piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:853971 CAPLUS Full-text

DOCUMENT NUMBER: 149:176332

TITLE: Preparation of fused pyridine derivatives as JAK3 inhibitors for treatment of autoimmune disease, leukemia, etc.

INVENTOR(S): Shirakami, Shohei; Inoue, Takayuki; Mukoyoshi, Koichiro; Nakajima, Yutaka; Usuda, Hiroyuki; Hamaguchi, Hisao; Higashi, Yasuyuki; Hatanaka, Keiko

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan

SOURCE: PCT Int. Appl., 130pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008084861	A1	20080717	WO 2008-JP50300	20080111

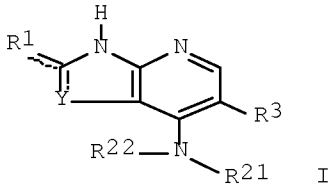
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2007-5236 A 20070112

OTHER SOURCE(S): MARPAT 149:176332

GI



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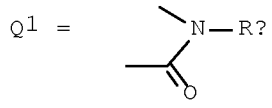
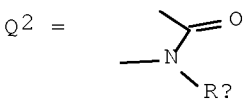
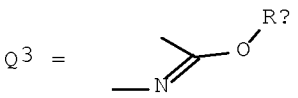






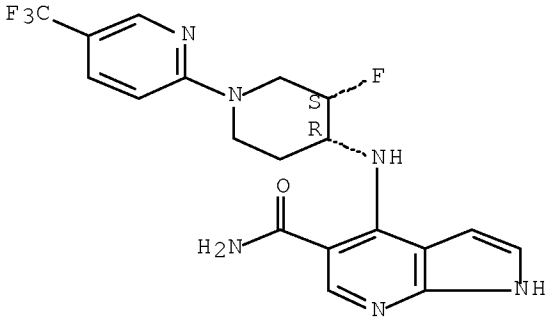
AB The title compds. I [R1 = H, oxo; R3 = (alkyl-substituted) carbamoyl, (alkyl-substituted) oxadiazolyl; R21 = H; or R21 may together with R3 form Q1, Q2, Q3, etc.; Ra = H, alkyl; Rb = H, alkyl; Rc = H, alkyl, alkyl-O-alkyl; R22 = (un)substituted 5- to 7-membered N-containing heterocycloalkyl, cycloalkyl, benzyl, etc.; Y = N, CH, CH2; the dotted line together with the solid line indicates a single or double bond : when one set of dotted line and solid line indicates a single bond, the other set of dotted line and solid line indicates a double bond] are prepared Thus, 4-([1-(5-cyanopyrimidin-2-yl)piperidin-4-yl]amino)-1H-pyrrolo[2,3- b]pyridine-5-carboxamide was prepared from 4-([1-(5-bromopyrimidin-2-yl)piperidin-4-yl]amino)-1H-pyrrolo[2,3- b]pyridine-5-carboxamide. In an assay for JAK3 inhibiting activity, compds. of this invention showed IC50 values of 0.3 nM to 10 nM.

IT 1039740-35-6P 1039740-37-8P 1039740-39-0P
1039740-40-3P 1039740-41-4P 1039740-42-5P
RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridine derivs. as JAK3 inhibitors)

RN 1039740-35-6 CAPLUS

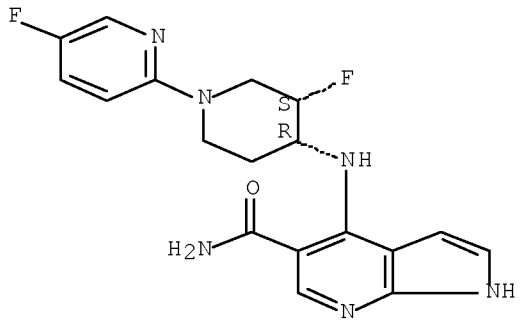
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-3-fluoro-1-[5-(trifluoromethyl)-2-pyridinyl]-4-piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



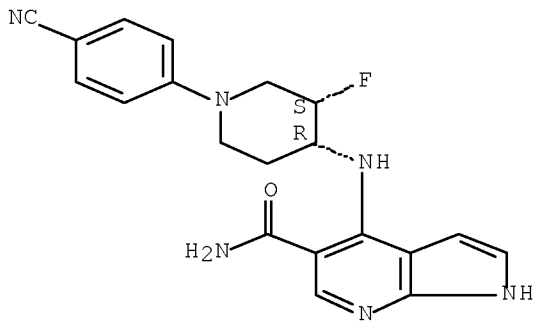
RN 1039740-37-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-3-fluoro-1-(5-fluoro-2-pyridinyl)-4-piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



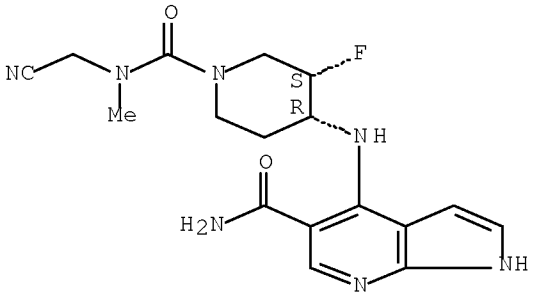
RN 1039740-39-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-1-(4-cyanophenyl)-3-fluoro-4-piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



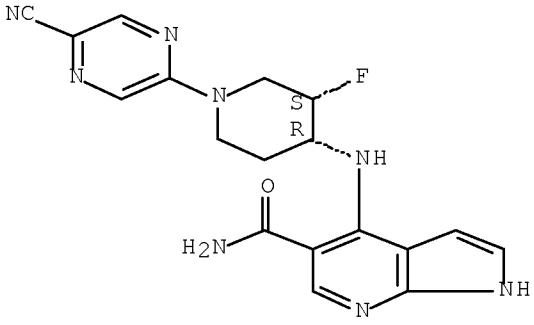
RN 1039740-40-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-1-[[(cyanomethyl)methylamino]carbonyl]-3-fluoro-4-piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



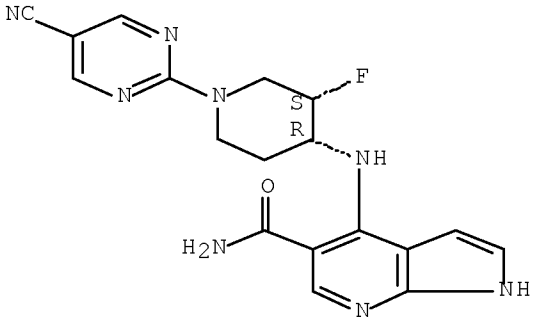
RN 1039740-41-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-1-(5-cyano-2-pyrazinyl)-3-fluoro-4-piperidinyl]amino]-, rel-
(CA INDEX NAME)

Relative stereochemistry.



RN 1039740-42-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-1-(5-cyano-2-pyrimidinyl)-3-fluoro-4-piperidinyl]amino]-, rel-
(CA INDEX NAME)

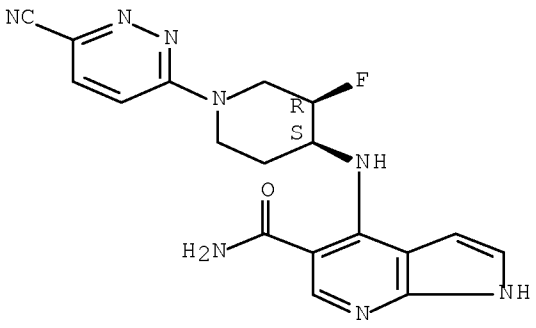
Relative stereochemistry.



IT 1039738-45-8P 1039738-46-9P 1039738-50-5P
1039738-53-8P 1039738-55-0P 1039738-57-2P
1039738-60-7P 1039738-62-9P 1039738-64-1P
1039738-79-8P 1039739-42-8P 1039739-84-8P
1039739-90-6P 1039739-91-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridine derivs. as JAK3 inhibitors)

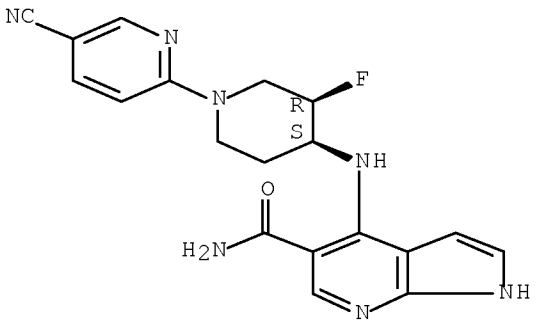
RN 1039738-45-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-1-(6-cyano-3-pyridazinyl)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



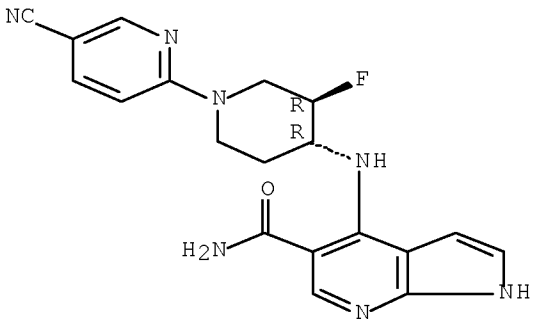
RN 1039738-46-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-1-(5-cyano-2-pyridinyl)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



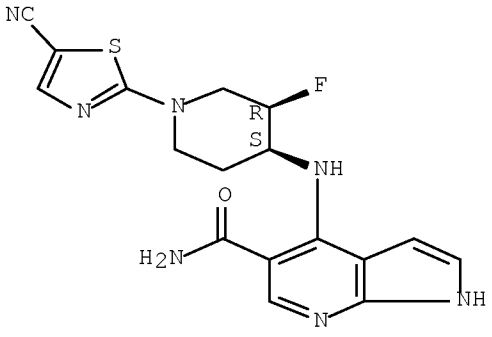
RN 1039738-50-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4R)-1-(5-cyano-2-pyridinyl)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



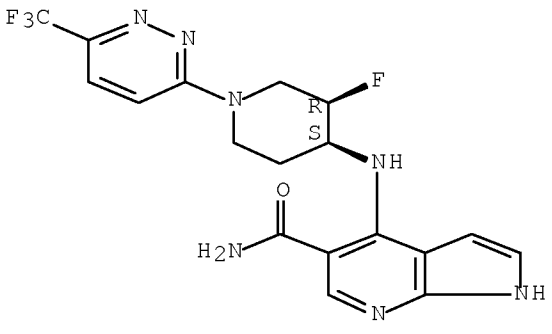
RN 1039738-53-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-1-(5-cyano-2-thiazolyl)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

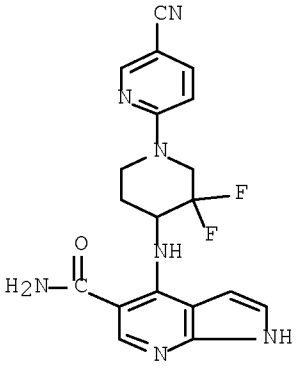


RN 1039738-55-0 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-3-fluoro-1-[6-(trifluoromethyl)-3-pyridazinyl]-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

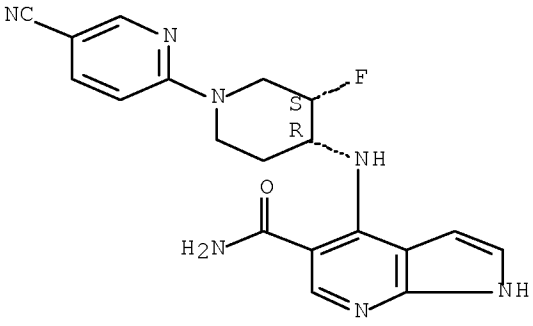


RN 1039738-57-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[1-(5-cyano-2-pyridinyl)-3,3-difluoro-4-piperidinyl]amino]- (CA INDEX NAME)



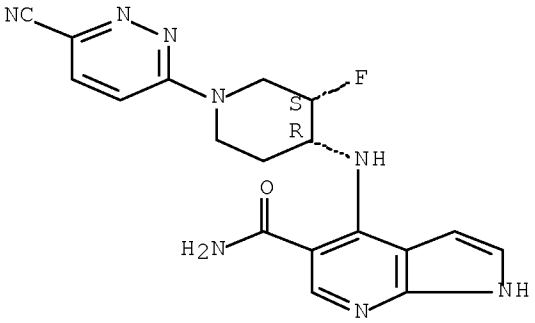
RN 1039738-60-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3S,4R)-1-(5-cyano-2-pyridinyl)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



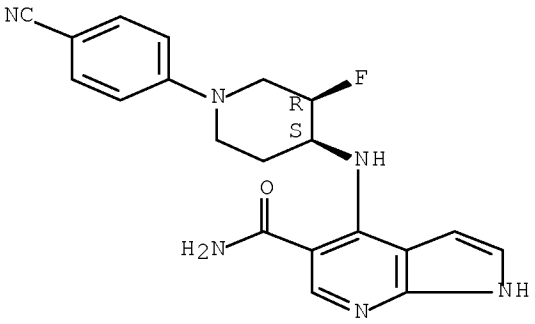
RN 1039738-62-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3S,4R)-1-(6-cyano-3-pyridazinyl)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



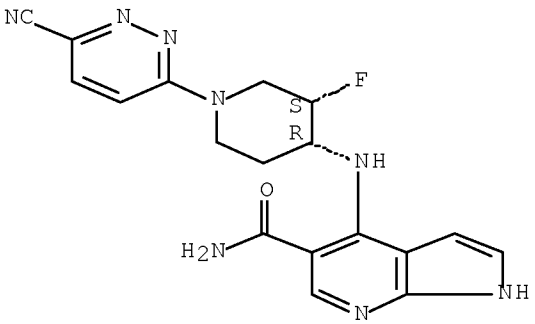
RN 1039738-64-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-1-(4-cyanophenyl)-3-fluoro-4-piperidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



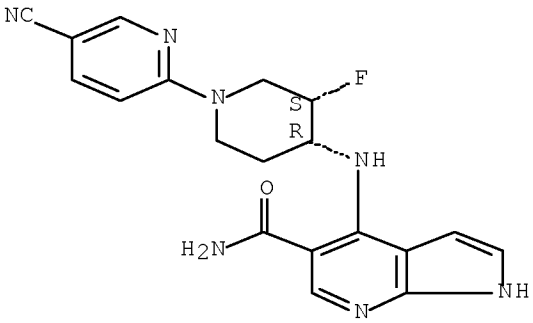
RN 1039738-79-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-1-(6-cyano-3-pyridazinyl)-3-fluoro-4-piperidinyl]amino]-, rel-
(CA INDEX NAME)

Relative stereochemistry.



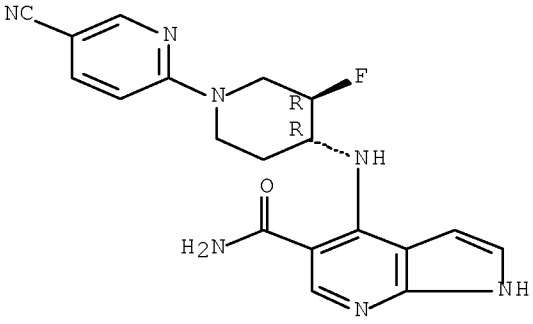
RN 1039739-42-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-1-(5-cyano-2-pyridinyl)-3-fluoro-4-piperidinyl]amino]-, rel-
(CA INDEX NAME)

Relative stereochemistry.



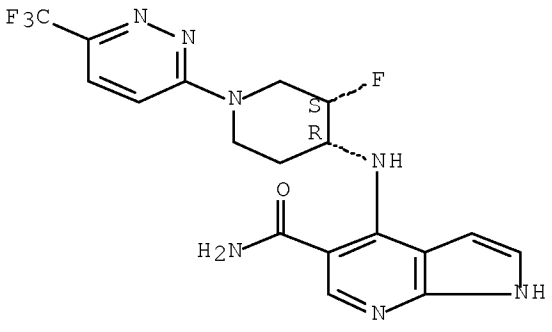
RN 1039739-84-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4R)-1-(5-cyano-2-pyridinyl)-3-fluoro-4-piperidinyl]amino]-, rel-
(CA INDEX NAME)

Relative stereochemistry.



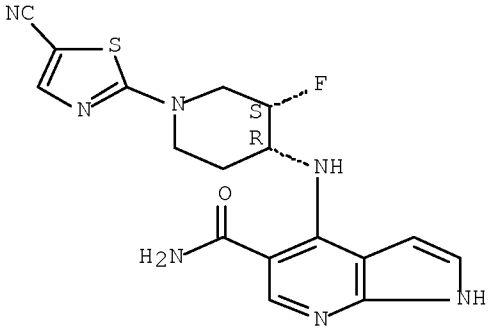
RN 1039739-90-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-3-fluoro-1-[6-(trifluoromethyl)-3-pyridazinyl]-4-
piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



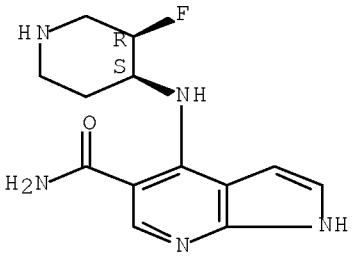
RN 1039739-91-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-1-(5-cyano-2-thiazolyl)-3-fluoro-4-piperidinyl]amino]-, rel-
(CA INDEX NAME)

Relative stereochemistry.



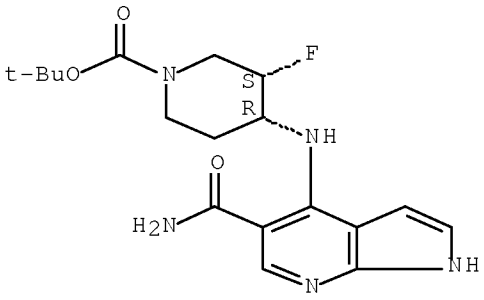
IT 1039738-35-6P 1039740-43-6P 1039740-45-8P
1039740-46-9P 1039740-48-1P 1039740-49-2P
1039740-50-5P 1039740-52-7P 1039740-54-9P
1039740-75-4P 1039740-76-5P 1039740-79-8P
1039741-09-7P 1039741-18-8P 1039741-23-5P
1039741-25-7P 1039741-29-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of fused pyridine derivs. as JAK3 inhibitors)
RN 1039738-35-6 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4S)-3-fluoro-4-piperidinyl]amino]-, rel- (CA INDEX NAME)

Relative stereochemistry.



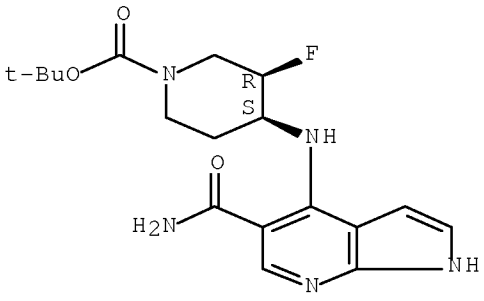
RN 1039740-43-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[5-(aminocarbonyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]amino]-3-fluoro-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 1039740-45-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[5-(aminocarbonyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]amino]-3-fluoro-, 1,1-dimethylethyl ester, (3R,4S)- (CA INDEX NAME)

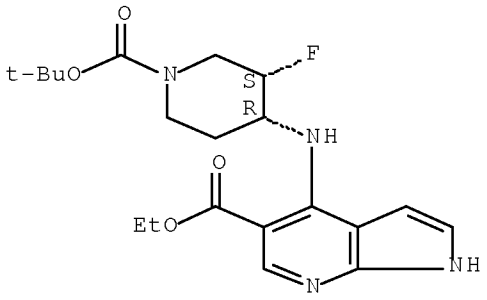
Absolute stereochemistry.



RN 1039740-46-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 4-[[(3R,4S)-1-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-4-piperidinyl]amino]-

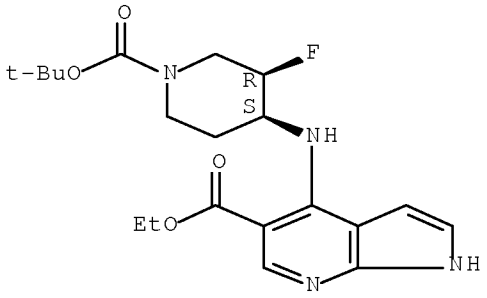
, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.



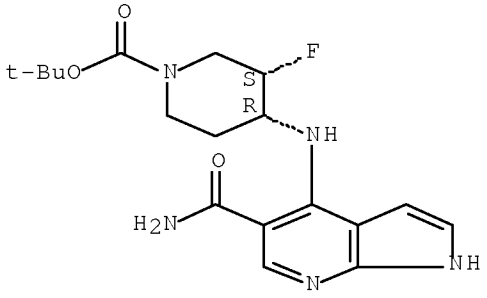
RN 1039740-48-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid, 4-[[(3R,4S)-1-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-4-piperidinyl]amino]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



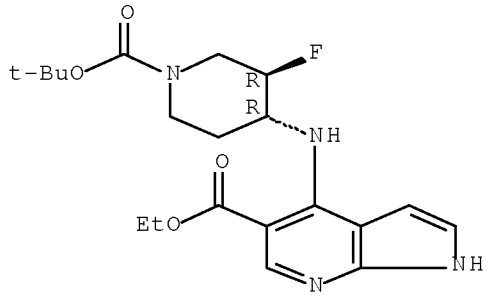
RN 1039740-49-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[5-(aminocarbonyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]amino]-3-fluoro-, 1,1-dimethylethyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



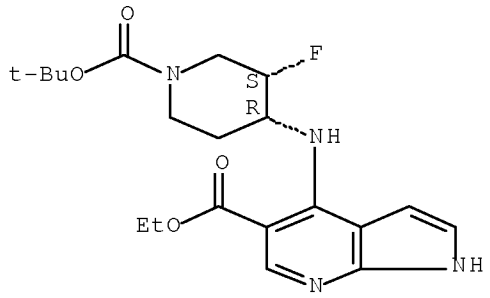
RN 1039740-50-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid,
4-[[(3R,4R)-1-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-4-piperidinyl]amino]-
, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

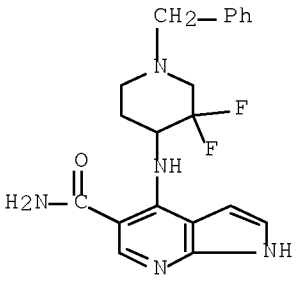


RN 1039740-52-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid,
4-[[(3S,4R)-1-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-4-piperidinyl]amino]-
, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

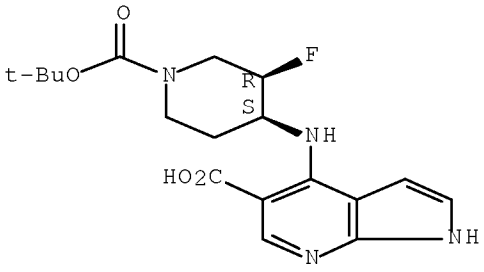


RN 1039740-54-9 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[3,3-difluoro-1-(phenylmethyl)-4-piperidinyl]amino]- (CA INDEX NAME)



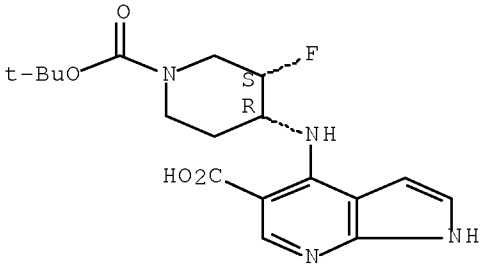
RN 1039740-75-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid,
4-[[(3R,4S)-1-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-4-piperidinyl]amino]-
(CA INDEX NAME)

Absolute stereochemistry.



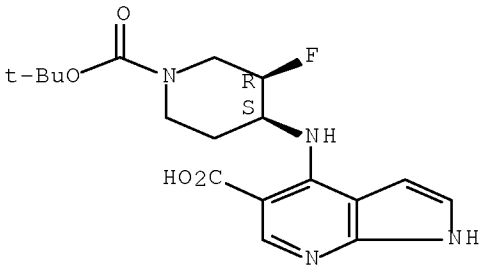
RN 1039740-76-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid,
4-[[(3S,4R)-1-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-4-piperidinyl]amino]-
(CA INDEX NAME)

Absolute stereochemistry.

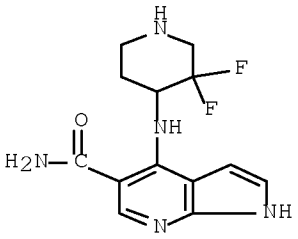


RN 1039740-79-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxylic acid,
4-[[(3R,4S)-1-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-4-piperidinyl]amino]-
, rel- (CA INDEX NAME)

Relative stereochemistry.

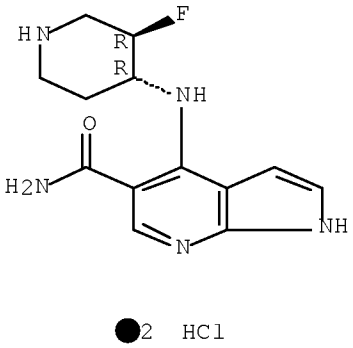


RN 1039741-09-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[(3,3-difluoro-4-piperidinyl)amino]- (CA INDEX NAME)



RN 1039741-18-8 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3R,4R)-3-fluoro-4-piperidinyl]amino]-, hydrochloride (1:2), rel- (CA INDEX NAME)

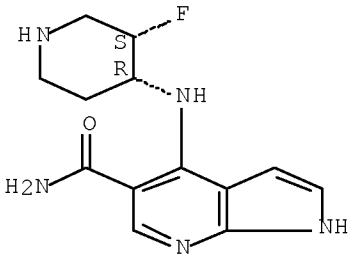
Relative stereochemistry.



●2 HCl

RN 1039741-23-5 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide,
4-[[(3S,4R)-3-fluoro-4-piperidinyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

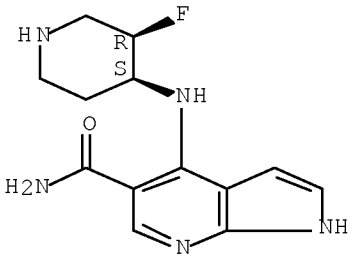
Absolute stereochemistry.



●2 HCl

RN 1039741-25-7 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-5-carboxamide, 4-[[(3R,4S)-3-fluoro-4-piperidinyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)

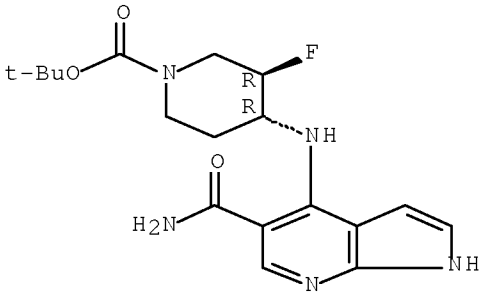
Absolute stereochemistry.



●2 HCl

RN 1039741-29-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[5-(aminocarbonyl)-1H-pyrrolo[2,3-b]pyridin-4-yl]amino]-3-fluoro-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

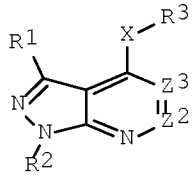


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1028755 CAPLUS Full-text
DOCUMENT NUMBER: 147:365493
TITLE: Heterobicyclic pyrazole compounds as Met tyrosine kinase inhibitors and their preparation and use
INVENTOR(S): Blake, James F.; Boyd, Steven Armen; Cohen, Frederick; De Meese, Jason; Fong, Kin Chiu; Gaudino, John J.; Kaplan, Tomas; Marlow, Allison L.; Seo, Jeongbeob; Thomas, Allen A.; Tian, Hongqi; Young, Wendy B.
PATENT ASSIGNEE(S): Array Biopharma Inc., USA; Genentech, Inc.
SOURCE: PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007103308	A2	20070913	WO 2007-US5583		20070306
WO 2007103308	A3	20080207			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007224020	A1	20070913	AU 2007-224020		20070306
US 20070238726	A1	20071011	US 2007-714342		20070306
PRIORITY APPLN. INFO.:			US 2006-779805P	P	20060307
			US 2006-874832P	P	20061214
			WO 2007-US5583	W	20070306

OTHER SOURCE(S): MARPAT 147:365493
GI



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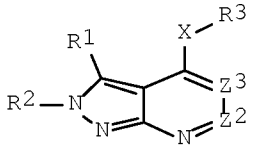

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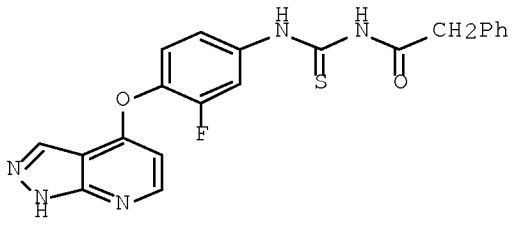


III

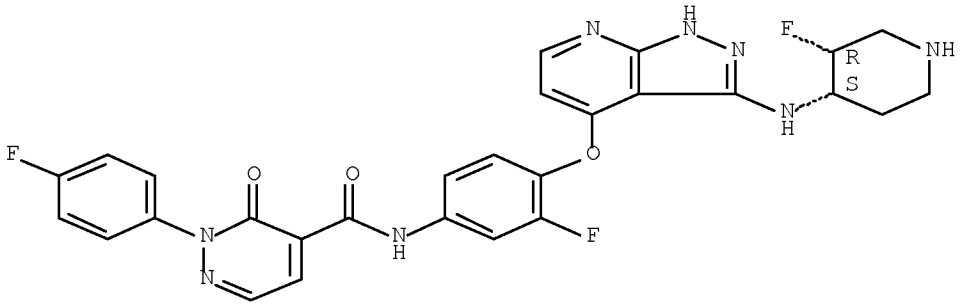
AB The invention is related to the preparation of I and II [X = O, S, NH and derivs.; Z2, Z3 = independently CH and derivs., N, wherein none or one of Z2, and Z3 = N; R1 = H, (un)substituted alk(en/yn)yl, (hetero)aryl, etc.; R2 = H, CF3, CN, SH and derivs., SO2NH2 and derivs., etc.; R3 = (un)substituted carbocyclyl, heterocyclyl, (hetero)/aryl] and their pharmaceutically acceptable salts which are useful for inhibiting receptor tyrosine kinases and for treating disorders mediated thereby. Methods of using compds. I and II and their stereoisomers, geometric isomers, tautomers, solvates, metabolites and pharmaceutically acceptable salts, for in vitro, in situ, and in vivo diagnosis, prevention or treatment of such disorders in mammalian cells, or associated pathol. conditions are disclosed. Thus, pyrazolopyridine III was prepared by a multi-step synthesis via 1-(4-methoxybenzyl)-1H-pyrazolo[3,4-b]pyridin-4-ol intermediate which was obtained from 1-(4-methoxybenzyl)-1H-pyrazol-5-amine and Meldrum's acid. Certain I and II had IC50's < 1 μM in a c-Met enzyme assay.

IT 949559-96-0P 949559-99-3P 949560-05-6P
949560-09-2P 949560-33-2P 949560-35-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterobicyclic pyrazole compds. as Met tyrosine kinase inhibitors useful in the treatment of diseases)

RN 949559-96-0 CAPLUS

CN 4-Pyridazinecarboxamide, N-[3-fluoro-4-[[3-[[(3R,4S)-3-fluoro-4-piperidinyl]amino]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]phenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, hydrochloride (1:2), rel- (CA INDEX NAME)

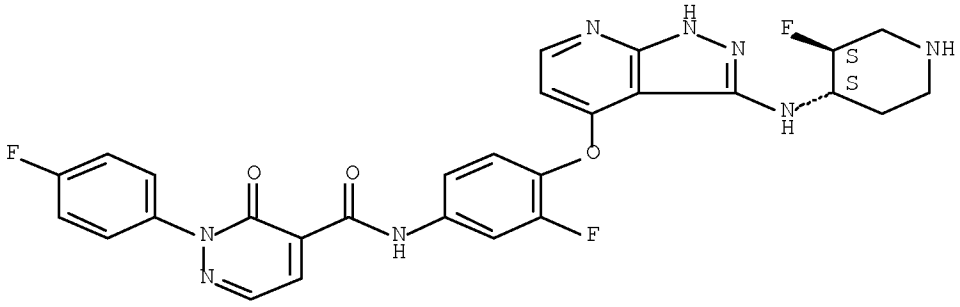
Relative stereochemistry.



●2 HCl

RN 949559-99-3 CAPLUS
CN 4-Pyridazinecarboxamide, N-[3-fluoro-4-[[3-[[(3R,4R)-3-fluoro-4-piperidinyl]amino]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]phenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, hydrochloride (1:2), rel- (CA INDEX NAME)

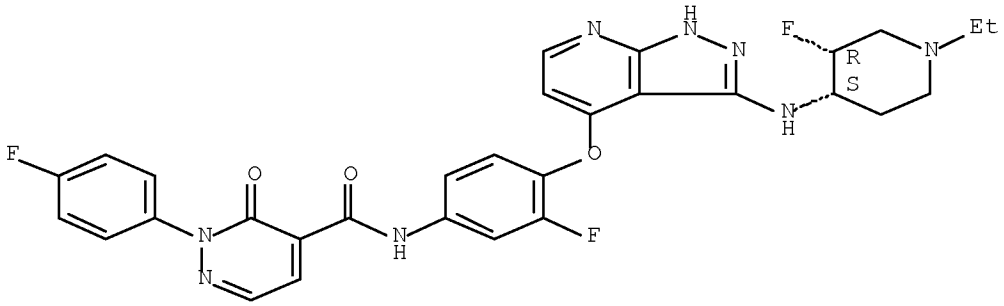
Relative stereochemistry.



●2 HCl

RN 949560-05-8 CAPLUS
CN 4-Pyridazinecarboxamide, N-[4-[[3-[[(3R,4S)-1-ethyl-3-fluoro-4-piperidinyl]amino]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]-3-fluorophenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, hydrochloride (1:2), rel- (CA INDEX NAME)

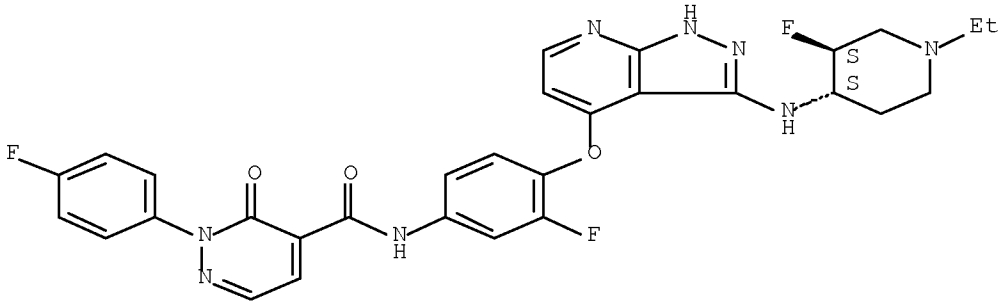
Relative stereochemistry.



●2 HCl

RN 949560-09-2 CAPLUS
CN 4-Pyridazinecarboxamide, N-[4-[[3-[[(3R,4R)-1-ethyl-3-fluoro-4-piperidinyl]amino]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]-3-fluorophenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, hydrochloride (1:2), rel- (CA INDEX NAME)

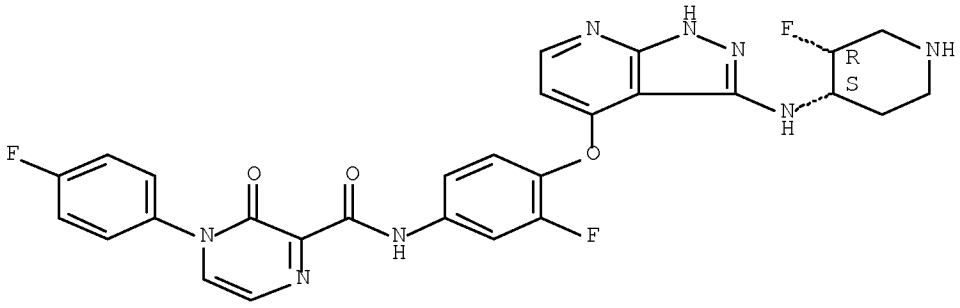
Relative stereochemistry.



●2 HCl

RN 949560-33-2 CAPLUS
CN 2-Pyrazinecarboxamide, N-[3-fluoro-4-[[3-[[(3R,4S)-3-fluoro-4-piperidinyl]amino]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]phenyl]-4-(4-fluorophenyl)-3,4-dihydro-3-oxo-, hydrochloride (1:2), rel- (CA INDEX NAME)

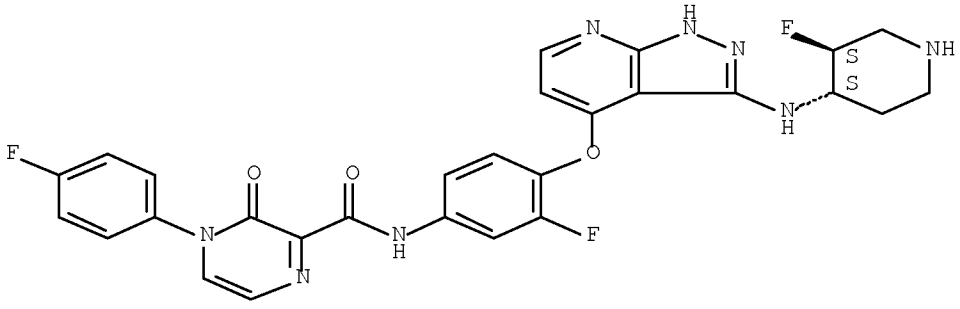
Relative stereochemistry.



●2 HCl

RN 949560-35-4 CAPLUS
CN 2-Pyrazinecarboxamide, N-[3-fluoro-4-[[3-[[(3R,4R)-3-fluoro-4-piperidinyl]amino]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]phenyl]-4-(4-fluorophenyl)-3,4-dihydro-3-oxo-, hydrochloride (1:2), rel- (CA INDEX NAME)

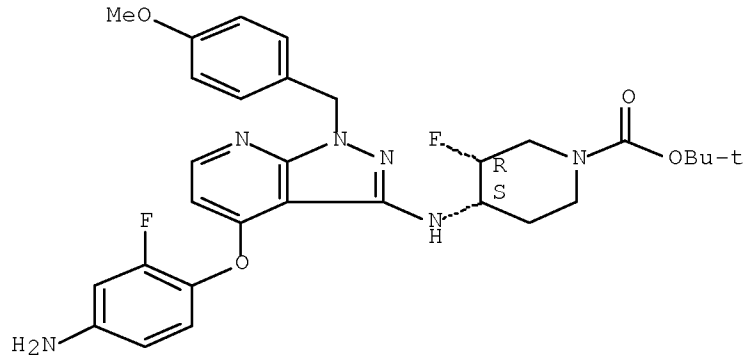
Relative stereochemistry.



●2 HCl

IT 949559-97-1P 949559-98-2P 949560-00-3P
949560-01-4P 949560-07-0P 949560-08-1P
949560-11-6P 949560-12-7P 949560-34-3P
949560-36-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterobicyclic pyrazole compds. as Met tyrosine kinase inhibitors useful in the treatment of diseases)
RN 949559-97-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-(4-amino-2-fluorophenoxy)-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]amino]-3-fluoro-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

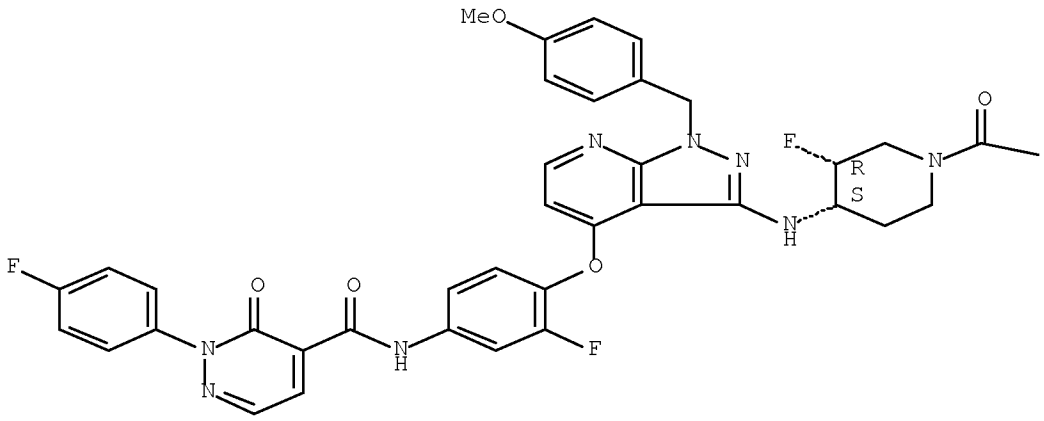
Relative stereochemistry.



RN 949559-98-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[[4-[2-fluoro-4-[[[2-(4-fluorophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]phenoxy]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]amino]-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



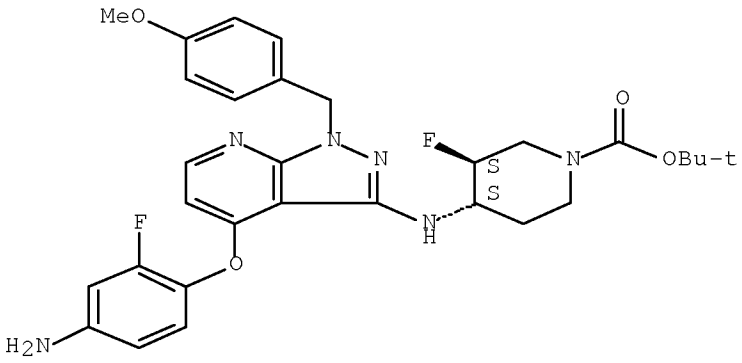
PAGE 1-B

—OBu-t

RN 949560-00-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-(4-amino-2-fluorophenoxy)-1-[(4-

methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]amino]-3-fluoro-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

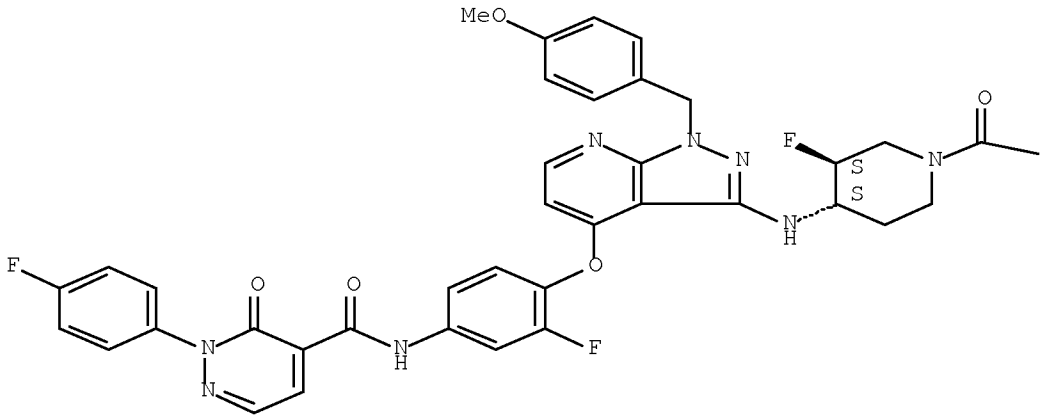


RN 949560-01-4 CAPLUS

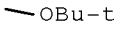
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[[4-[2-fluoro-4-[[[2-(4-fluorophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]phenoxy]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]amino]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 1-B

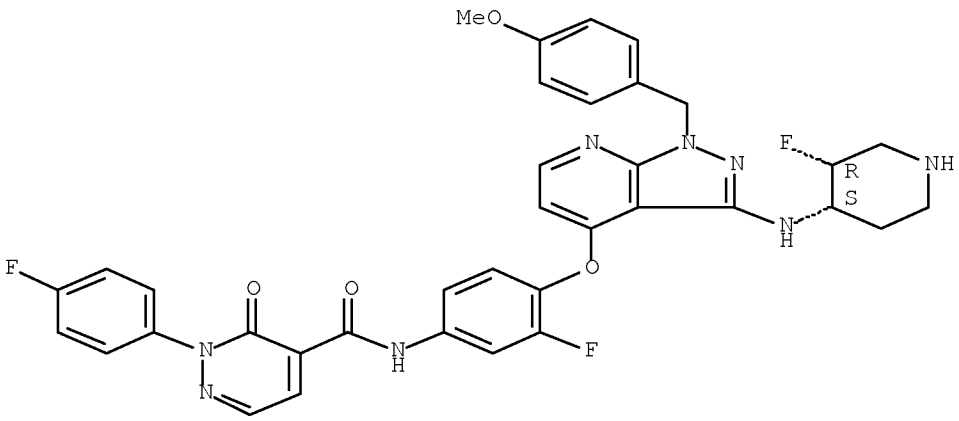


RN 949560-07-0 CAPLUS
CN 4-Pyridazinecarboxamide, N-[3-fluoro-4-[[3-[[(3R,4S)-3-fluoro-4-piperidinyl]amino]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]phenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, rel-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

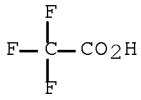
CRN 949560-06-9
CMF C36 H31 F3 N8 O4

Relative stereochemistry.



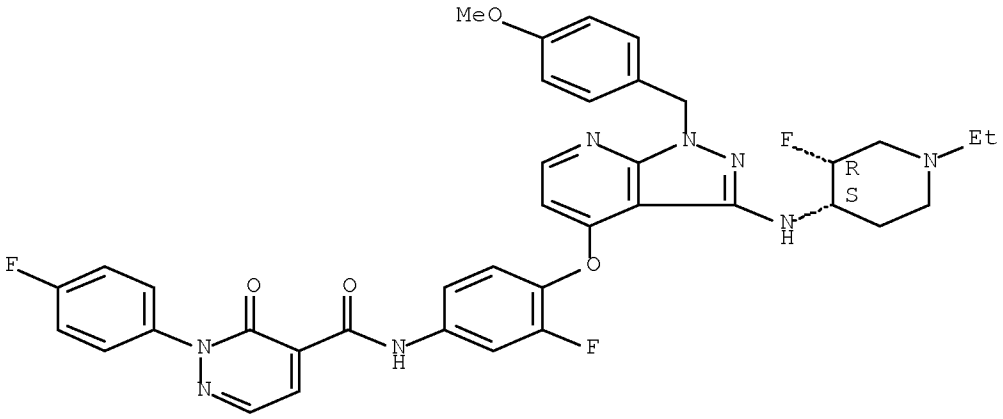
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 949560-08-1 CAPLUS
CN 4-Pyridazinecarboxamide, N-[4-[[3-[[(3R,4S)-1-ethyl-3-fluoro-4-piperidinyl]amino]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]-3-fluorophenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, rel- (CA INDEX NAME)

Relative stereochemistry.

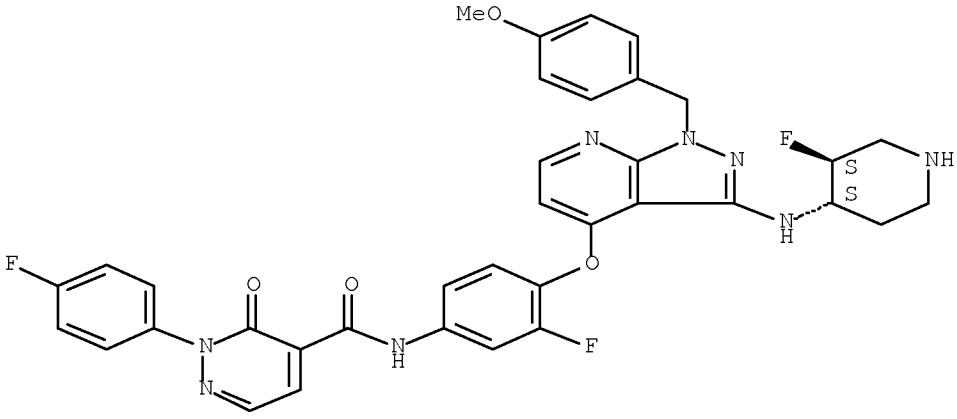


RN 949560-11-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-[3-fluoro-4-[[3-[[(3R,4R)-3-fluoro-4-piperidinyl]amino]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]phenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, rel-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

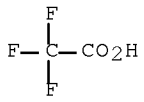
CRN 949560-10-5
CMF C36 H31 F3 N8 O4

Relative stereochemistry.



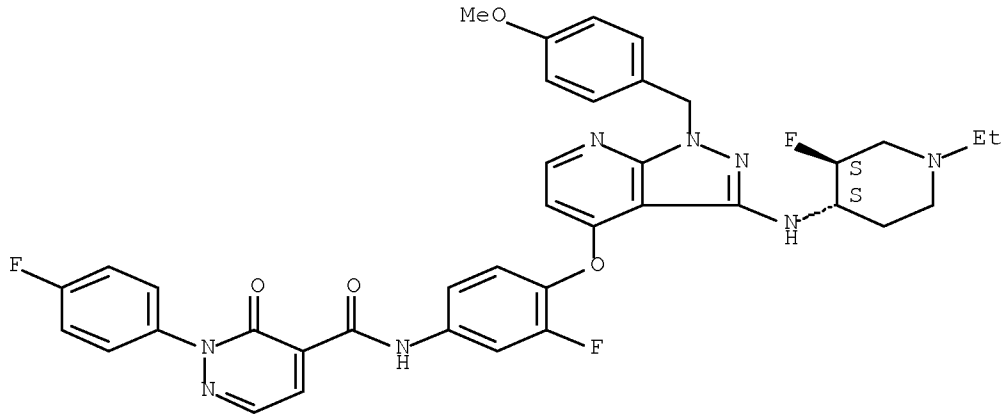
CM 2

CRN 76-05-1
CMF C2 H F3 O2



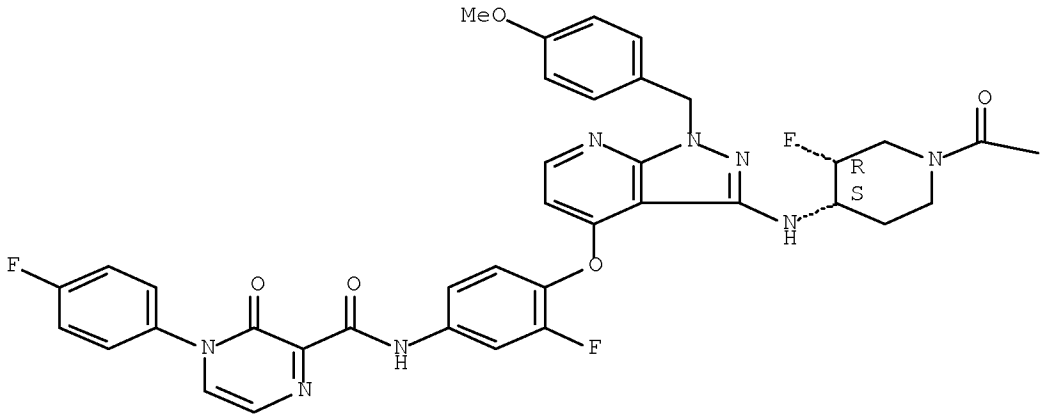
RN 949560-12-7 CAPLUS
CN 4-Pyridazinecarboxamide, N-[4-[[3-[[(3R,4R)-1-ethyl-3-fluoro-4-piperidinyl]amino]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-4-yl]oxy]-3-fluorophenyl]-2-(4-fluorophenyl)-2,3-dihydro-3-oxo-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 949560-34-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[[4-[2-fluoro-4-[[[4-(4-fluorophenyl)-3,4-dihydro-3-oxo-2-pyrazinyl]carbonyl]amino]phenoxy]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]amino]-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



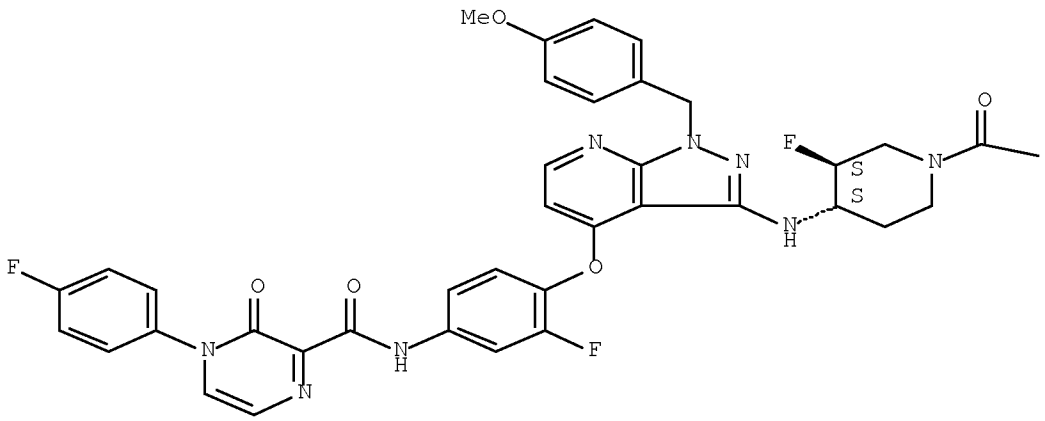
PAGE 1-B

—OBu-t

RN 949560-36-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[[4-[2-fluoro-4-[[[4-(4-fluorophenyl)-3,4-dihydro-3-oxo-2-pyrazinyl]carbonyl]amino]phenoxy]-1-[(4-methoxyphenyl)methyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]amino]-, 1,1-dimethylethyl ester, (3R,4R)-rel- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



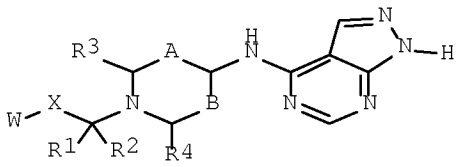
PAGE 1-B

—OBu-t

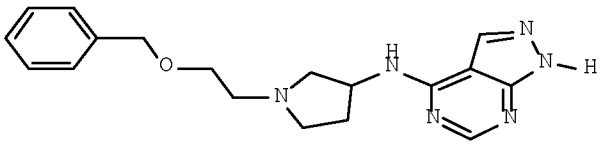
ACCESSION NUMBER: 2006:1124432 CAPLUS Full-text
DOCUMENT NUMBER: 145:455026
TITLE: N-alkyl-azacycloalkyl compounds as NMDA/NR2B antagonists and their preparation, pharmaceutical compositions, and use in the treatment of various diseases
INVENTOR(S): Layton, Mark E.; Rodzinak, Kevin J.; Kelly, Michael J., III; Sanderson, Philip E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 88pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006113471	A2	20061026	WO 2006-US14139		20060414
WO 2006113471	A3	20071213			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA					
AU 2006236625	A1	20061026	AU 2006-236625		20060414
CA 2603876	A1	20061026	CA 2006-2603876		20060414
EP 1874318	A2	20080109	EP 2006-750229		20060414
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
JP 2008536927	T	20080911	JP 2008-507751		20060414
IN 2007CN04217	A	20071221	IN 2007-CN4217		20070924
CN 101163482	A	20080416	CN 2006-80012726		20071017
PRIORITY APPLN. INFO.:			US 2005-672639P	P	20050419
			WO 2006-US14139	W	20060414

OTHER SOURCE(S): MARPAT 145:455026
GI



I



II

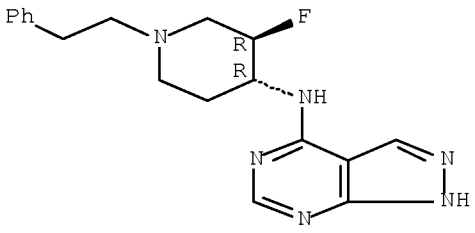
AB Compds. represented by formula I: and/or pharmaceutically acceptable salts, individual enantiomers and stereoisomers thereof, are effective as NMDA/NR2B antagonists useful for treating conditions such as pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, ischemic brain injury including stroke. Compds. of formula I wherein W is (un)substituted (hetero)aryl; X is absent and (un)substituted C1-4 alkoxy and (un)substituted C1-3 alkyl; A is a bond and (un)substituted C2-3 alkyl, etc.; B is (un)substituted C1 alkyl, etc.; R1 and R2 are independently H and C1-3 alkyl; R3 and R4 are independently H, OH, CN and (un)substituted C1-3 alkyl, etc.; and their pharmaceutically acceptable salts, enantiomers and stereoisomers thereof are claimed. Example compound II was prepared by alkylation of tert-Bu pyrrolidin-3-ylcarbamate with [(2-bromoethoxy)methyl]benzene; the resulting tert-Bu [1-[2-(benzyloxy)ethyl]pyrrolidin-3-yl]carbamate underwent hydrolysis to give 1-[2-(benzyloxy)ethyl]pyrrolidin-3-amine, which underwent coupling with 4-chloro-1-(tetrahydropyran-2-yl)-1H-pyrazolo[3,4-d]pyrimidine to give compound II. All the invention compds. were evaluated for their NMDA/NR2B antagonistic activity.

IT 913574-47-7P 913574-48-8P 913574-49-9P
913574-50-2P 913574-51-3P 913574-52-4P
913574-53-5P 913574-54-6P 913574-55-7P
913574-56-8P 913574-66-0P 913574-67-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-alkyl-azacycloalkyl as NMDA/NR2B antagonists useful in treatment of diseases)

RN 913574-47-7 CAPLUS

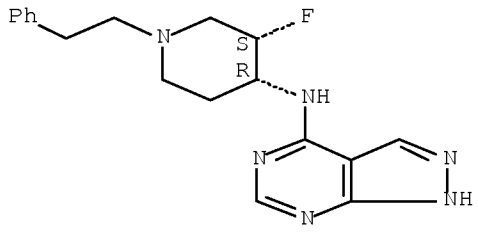
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3R,4R)-3-fluoro-1-(2-phenylethyl)-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



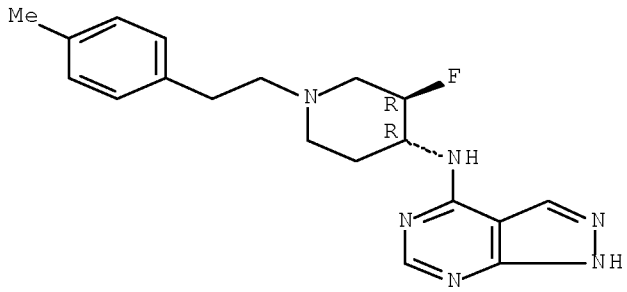
RN 913574-48-8 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3R,4S)-3-fluoro-1-(2-phenylethyl)-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



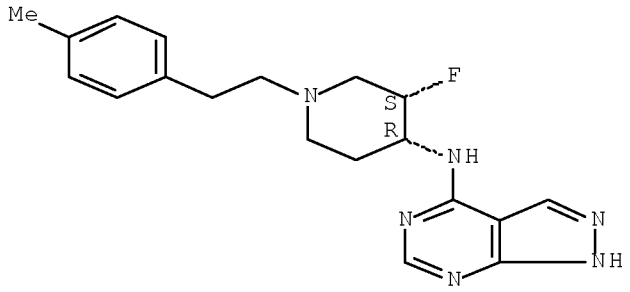
RN 913574-49-9 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3R,4R)-3-fluoro-1-[2-(4-methylphenyl)ethyl]-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



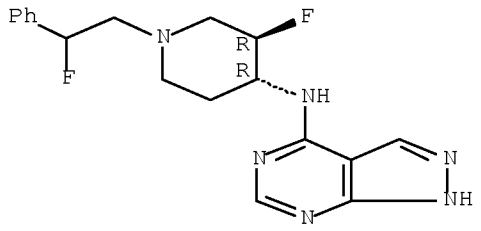
RN 913574-50-2 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3R,4S)-3-fluoro-1-[2-(4-methylphenyl)ethyl]-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



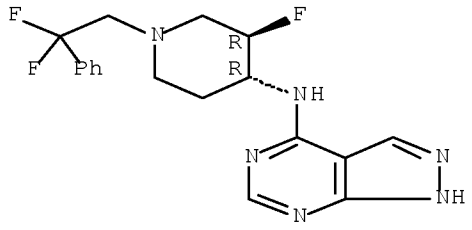
RN 913574-51-3 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4R)-3-fluoro-1-(2-fluoro-2-phenylethyl)-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



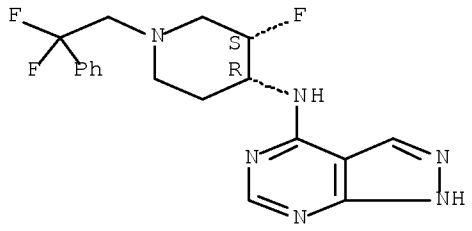
RN 913574-52-4 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4R)-1-(2,2-difluoro-2-phenylethyl)-3-fluoro-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



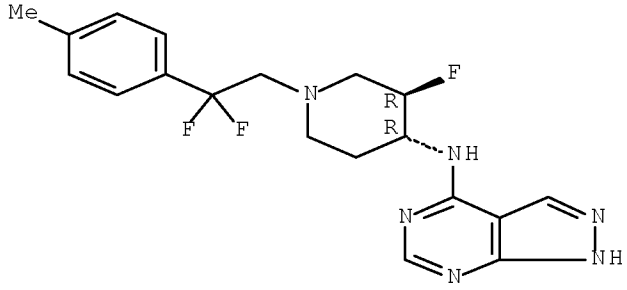
RN 913574-53-5 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4S)-1-(2,2-difluoro-2-phenylethyl)-3-fluoro-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



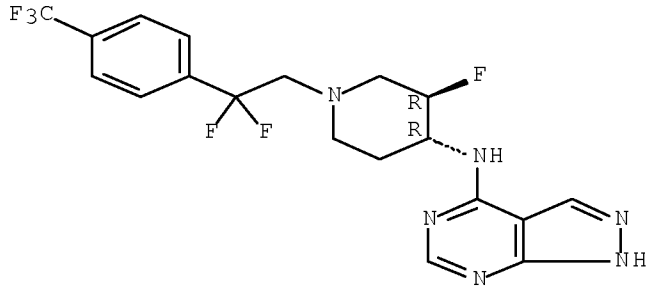
RN 913574-54-6 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4R)-1-[2,2-difluoro-2-(4-methylphenyl)ethyl]-3-fluoro-4-
piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 913574-55-7 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4R)-1-[2,2-difluoro-2-[4-(trifluoromethyl)phenyl]ethyl]-3-fluoro-4-
piperidinyl]-, rel- (CA INDEX NAME)

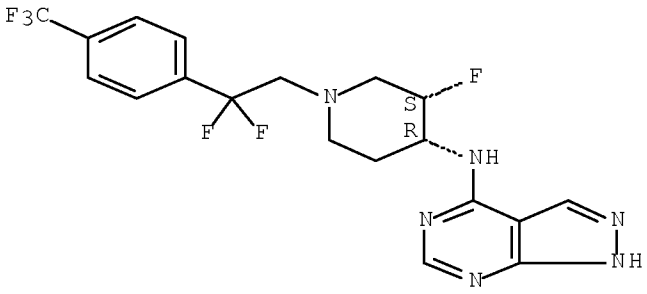
Relative stereochemistry.



RN 913574-56-8 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4S)-1-[2,2-difluoro-2-[4-(trifluoromethyl)phenyl]ethyl]-3-fluoro-4-

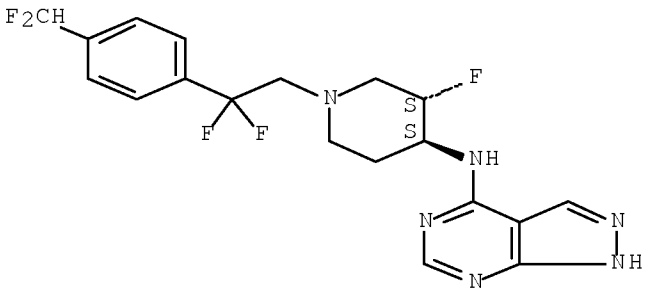
piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



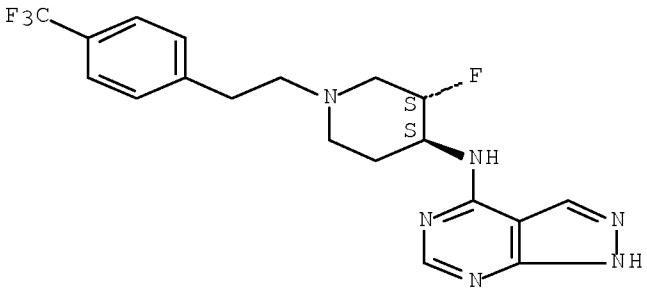
RN 913574-66-0 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4R)-1-[2-[4-(difluoromethyl)phenyl]-2,2-difluoroethyl]-3-fluoro-4-
piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 913574-67-1 CAPLUS
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,
N-[(3R,4R)-3-fluoro-1-[2-[4-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]-
, rel- (CA INDEX NAME)

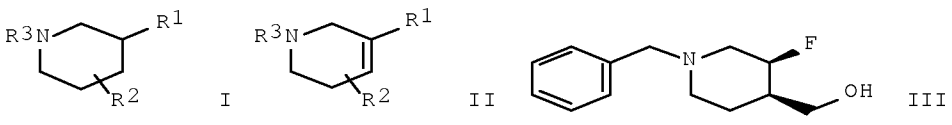
Relative stereochemistry.



L6 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:630453 CAPLUS Full-text
DOCUMENT NUMBER: 145:103564
TITLE: Process for preparation of chiral piperidines via asymmetric hydrogenation of dehydropiperidines using metal chiral phosphine catalyst complexes.
INVENTOR(S): Nelson, Todd D.; Kress, Michael H.; Krska, Shawn W.; Mitten, Jeffrey V.; Sun, Yongkui
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006069287	A1	20060629	WO 2005-US46718		20051221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2005319071	A1	20060629	AU 2005-319071		20051221
CA 2591738	A1	20060629	CA 2005-2591738		20051221
EP 1838673	A1	20071003	EP 2005-855301		20051221
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 101084191	A	20071205	CN 2005-80044112		20051221
JP 2008525486	T	20080717	JP 2007-548500		20051221
IN 2007CN02318	A	20070907	IN 2007-CN2318		20070529
US 20080086006	A1	20080410	US 2007-793944		20071203
PRIORITY APPLN. INFO.:			US 2004-638157P	P	20041222
			WO 2005-US46718	W	20051221

OTHER SOURCE(S): CASREACT 145:103564; MARPAT 145:103564
GI



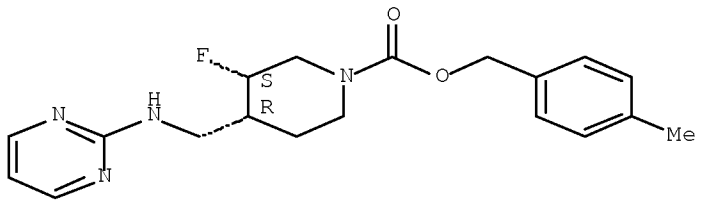
AB Title compds. [I; R1 = halo, O, CONH2, N, S, Si, (substituted) alkyl, aryl; R2 = O, amino, halo, CONH2, N, S, (substituted) alkyl; R3 = S, (substituted)

alkyl, aryl, P, Si, PhCH2, CBZ, carbamate, alkylaryl, aryloxycarbonyl], were prepared by asym. reduction of dehydropiperidines (II; variables as above) in the presence of metal precursors complexed to mono- or biphosphine ligands. Thus, II.HCl (R1 = F; R2 = 4-CH2OH; R3 = PhCH2) (preparation given) was hydrogenated in CH2Cl2 in the presence of (R,R)-Walphos and [(COD)RhCl]2 under 85 psig H2 at 50° for 18.75 h to give 74.4% title compound (III).

IT 808733-05-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of chiral piperidines via asym. hydrogenation of dehydropiperidines using metal chiral phosphine catalyst complexes)

RN 808733-05-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1080888 CAPLUS Full-text
DOCUMENT NUMBER: 142:56340
TITLE: 4-Heteroarylamino-substituted 3-fluoro-piperidines as NMDA/NR2B antagonists, and their preparation, pharmaceutical compositions, and methods of use
INVENTOR(S): Liverton, Nigel J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, John A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108705	A1	20041216	WO 2004-US17175	20040528

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004245522	A1	20041216	AU 2004-245522		20040528
CA 2527093	A1	20041216	CA 2004-2527093		20040528
EP 1648882	A1	20060426	EP 2004-753896		20040528
EP 1648882	B1	20080806			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

BR 2004010837	A	20060627	BR 2004-10837		20040528
CN 1798744	A	20060705	CN 2004-80015322		20040528
JP 2006526650	T	20061124	JP 2006-515051		20040528
JP 3927228	B2	20070606			
AT 403651	T	20080815	AT 2004-753896		20040528
MX 2005PA13151	A	20060317	MX 2005-PA13151		20051202
IN 2005DN05951	A	20080509	IN 2005-DN5951		20051220
NO 2006000020	A	20060303	NO 2006-20		20060103
PRIORITY APPLN. INFO.:			US 2003-475938P	P	20030604
			WO 2004-US17175	W	20040528

OTHER SOURCE(S): MARPAT 142:56340

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

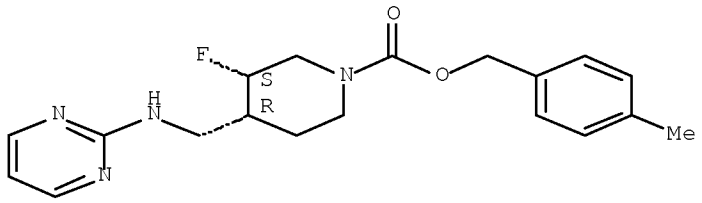
AB Title compds. I and their pharmaceutically acceptable salts are disclosed [wherein: HetAr is a 5- or 6-membered heteroarom. ring containing 1 or 2 N ring atoms, thiazolyl, or thiadiazolyl; HetAr is optionally substituted with 1 or 2 substituents, each substituent independently is C1-4 alkyl, F, Cl, Br, or iodo; A is a bond or C1-2 alkylene; and B is aryl-(CH2)0-3OC(O)-, indanyl-(CH2)0-3OC(O)-, aryl-(CH2)1-3C(O)-, arylcyclopropyl-C(O)-, or aryl-(CH2)1-3NHC(O)-, wherein any aryl is optionally substituted by 1-5 substituents, each substituent is independently C1-4 alkyl, F, or Cl]. I are effective as NMDA NR2B antagonists, useful for treating conditions such as, for example, Parkinson's disease, Alzheimer's disease, migraine, epilepsy and pain. Seven specific examples are claimed, and these plus various salts were prepared For instance, invention compound II was prepared in 8 steps: (1) coupling of CDI with 4-MeC6H4CH2OH and 4-piperidone HCl; (2) α-fluorination of the piperidone carbonyl; (3) Witting reaction of the piperidone carbonyl with Ph3P:CHCO2Et; (4) stereoselective reduction of the resulting olefin to give primarily cis-isomeric ester III; (5) alkaline saponification of the Et ester; (6) conversion of the resulting acid to an amine with diphenylphosphoryl azide; (7) heteroarylation of the amine with 2-chloropyrimidine; and (8) chiral HPLC. In both (1) a cell-based functional assay to determine IC50 for inhibition of NR1A/NR2B receptors in Ltk- cells, and (2) a radioligand binding assay using tritiated AMD-2 (preparation given) to determine Ki, compds. I had values of less than 50 μM, with these values advantageously being even lower than 0.1 μM.

IT 808732-98-1P, (-)-(3S,4R)-4-Methylbenzyl 3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of heteroarylamino-substituted fluoropiperidines as NMDA/NR2B receptor antagonists)

RN 808732-98-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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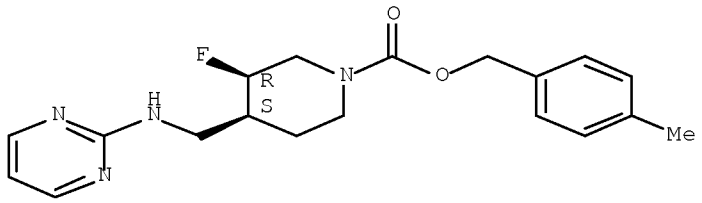
3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
808733-01-9P, (+)-trans-4-Methylbenzyl
3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
808733-02-0P, (-)-N-[[(3S,4R)-cis-3-Fluoro-1-[((1R,2R)-2-
phenylcyclopropyl)carbonyl]piperidin-4-yl]methyl]pyrimidin-2-amine
808733-03-1P, (-)-cis-4-Methylbenzyl
3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]piperidine-1-carboxylate
808733-04-2P, (+)-trans-4-Methylbenzyl
3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]piperidine-1-carboxylate
808733-05-3P, cis-4-Methylbenzyl
3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
808733-06-4P, (-)-(3S,4R)-4-Methylbenzyl
3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
hydrochloride 808733-07-5P, (+)-(3R,4S)-4-Methylbenzyl
3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
hydrochloride 808733-08-6P, (-)-trans-4-Methylbenzyl
3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
hydrochloride 808733-09-7P, (+)-trans-4-Methylbenzyl
3-fluoro-4-[(pyrimidin-2-ylamino)methyl]piperidine-1-carboxylate
hydrochloride 808733-10-0P,
(-)-N-[[(3S,4R)-cis-3-Fluoro-1-[((1R,2R)-2-
phenylcyclopropyl)carbonyl]piperidin-4-yl]methyl]pyrimidin-2-amine
hydrochloride 808733-11-1P, (-)-cis-4-Methylbenzyl
3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]piperidine-1-carboxylate
hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; preparation of heteroarylamino-substituted
fluoropiperidines as NMDA/NR2B receptor antagonists)

RN 808732-99-2 CAPLUS

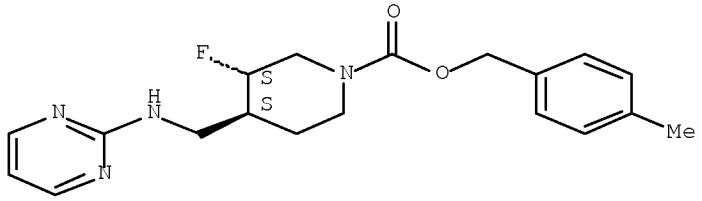
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-,
(4-methylphenyl)methyl ester, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



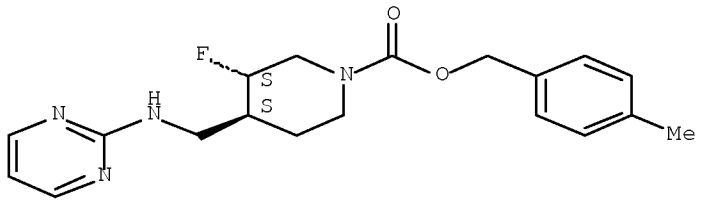
RN 808733-00-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3S,4S)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



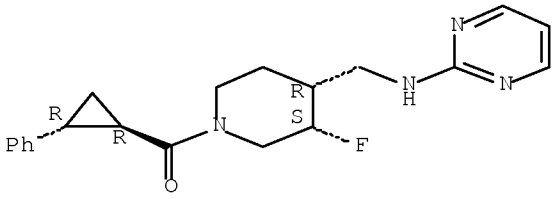
RN 808733-01-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4R)-rel-(+)- (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



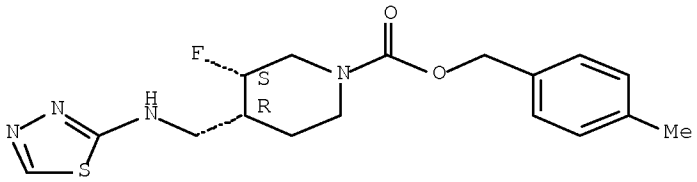
RN 808733-02-0 CAPLUS
CN Methanone, [(3S,4R)-3-fluoro-4-[(2-pyrimidinylamino)methyl]-1-piperidinyl][(1R,2R)-2-phenylcyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



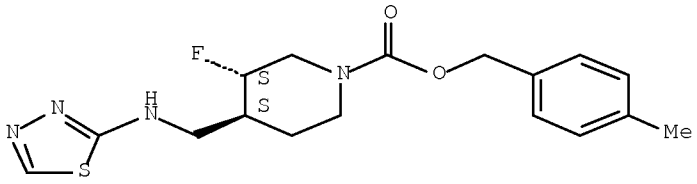
RN 808733-03-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]-, (4-methylphenyl)methyl ester, (3S,4R)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



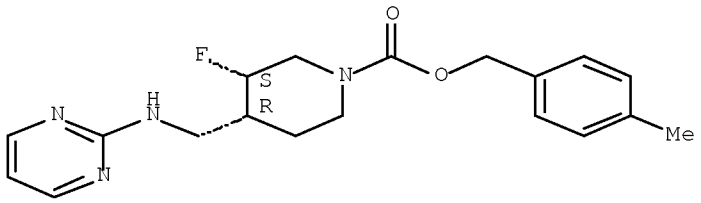
RN 808733-04-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4R)-rel-(+)- (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



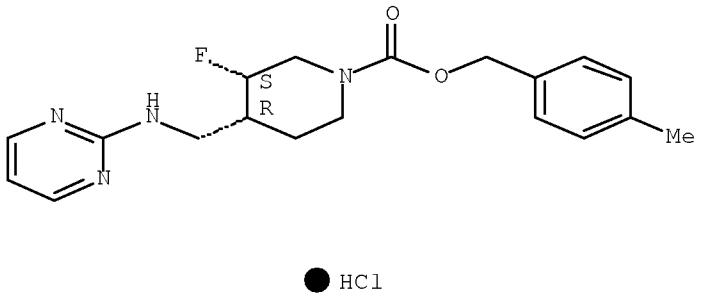
RN 808733-05-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 808733-06-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, hydrochloride (1:1), (3S,4R)- (CA INDEX NAME)

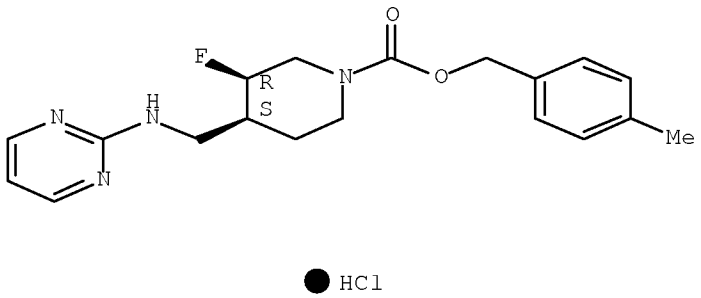
Absolute stereochemistry. Rotation (-).



HCl

RN 808733-07-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, hydrochloride (1:1), (3R,4S)- (CA INDEX NAME)

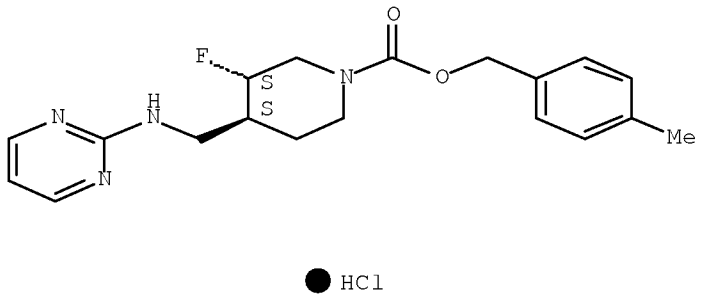
Absolute stereochemistry. Rotation (+).



HCl

RN 808733-08-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, hydrochloride (1:1), (3S,4S)-rel-(-)- (CA INDEX NAME)

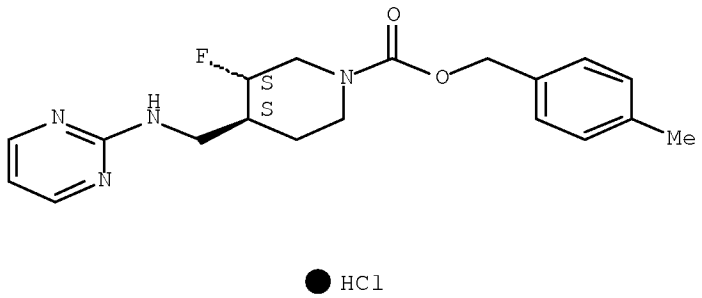
Rotation (-). Absolute stereochemistry unknown.



● HCl

RN 808733-09-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(2-pyrimidinylamino)methyl]-, (4-methylphenyl)methyl ester, hydrochloride (1:1), (3R,4R)-rel-(+)- (CA INDEX NAME)

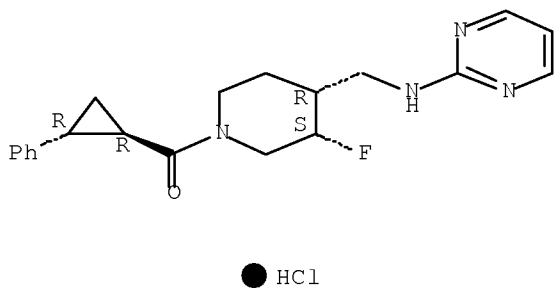
Rotation (+). Absolute stereochemistry unknown.



● HCl

RN 808733-10-0 CAPLUS
CN Methanone, [(3S,4R)-3-fluoro-4-[(2-pyrimidinylamino)methyl]-1-piperidinyl][(1R,2R)-2-phenylcyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

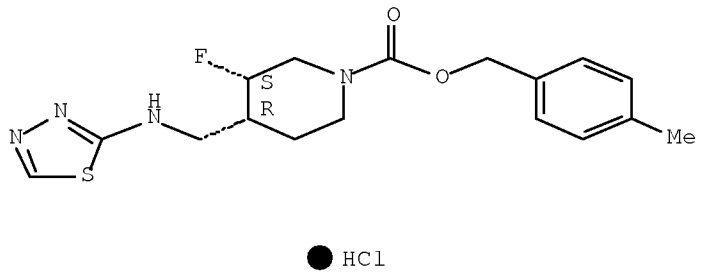
Absolute stereochemistry. Rotation (-).



● HCl

RN 808733-11-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-fluoro-4-[(1,3,4-thiadiazol-2-ylamino)methyl]-, (4-methylphenyl)methyl ester, hydrochloride (1:1), (3S,4R)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.60	-5.60

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